

10525264

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:220304 CAPLUS

DOCUMENT NUMBER: 140:270877

TITLE: Preparation of heterocyclic-substituted amides as

cathepsin cysteine protease inhibitors

INVENTOR(S): Boyd, Michael; Gagnon, Marc; Lau, Cheuk; Mellon,

Christophe; Scheigetz, John

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022526	A1	20040318	WO 2003-CA1346	20030903
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RM:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2495939	A1	20040318	CA 2003-2495939	20030903
AU 2003266052	A1	20040329	AU 2003-266052	20030903
EP 1537074	A1	20050608	EP 2003-793540	20030903
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 200537326	T	20051208	JP 2004-533125	20030903
US 2006122268	A1	20060608	US 2005-525264	20050222
PRIORITY APPLN. INFO:			US 2002-408064P	P 20020904
			WO 2003-CA1346	W 20030903

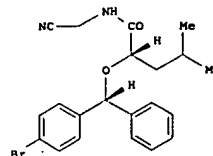
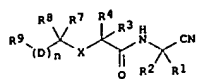
OTHER SOURCE(S):

MARPAT 140:270877

GI

Current app.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Title compds. I [R1-4 = H, alkyl, alkenyl, etc.; X = O, S, SO2, alkyl; R7-8

= H, alk(en/yn)yl, haloalkyl, alkoxy, NO2, CN, etc.; D = (hetero)aryl, cycloalkyl, etc.; R9 = H, OH, CN, alkyl, etc.; n = 0-3] are prepared For instance, (5S)-2-(4-bromophenyl)-5-isobutyl-1,3-dioxolan-4-one

(preparation given) is reacted with PhMgBr (Et2O, ZnCl2, -40°) and the resulting carboxylic acid coupled to aminoacetonitrile (DMF, HATU, Et3N) to give

II.

I are cysteine protease inhibitors, including but not limited to, inhibitors of cathepsins K, L, S and B and are useful for treating diseases in which inhibition of bone resorption is indicated, such as osteoporosis. They have the following structure: Formula (I).

IT 672328-08-4P 672328-15-3P 672328-19-7P

672328-22-2P 672328-25-5P, (2S)-2-[(1R)-1-(4-

Bromophenyl)-2-(4-chlorophenyl)ethoxy]-N-(cyanomethyl)-4-

methylpentanamide 672328-52-8P, N-(Cyanomethyl)-4-methyl-2-

[phenyl[4-(piperazin-1-ylcarbonyl)phenyl]methoxy]pentanamide

672328-55-1P 672328-63-1P, 2-[(4-Bromophenyl)(1,3-

thiazol-2-yl)methoxy]-N-(cyanomethyl)-4-methylpentanamide

672328-69-7P 672328-81-3P 672328-86-8P

672328-87-9P 672328-93-7P 672328-94-8P

672328-98-2P 672329-00-9P 672329-02-1P

672329-07-6P 672329-08-7P 672329-13-4P

2-[1-(4-Bromophenyl)-2,2,2-trifluoroethoxy]-N-(cyanomethyl)-4-

methylpentanamide 672329-14-5P 674784-52-2P

RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic-substituted amides as cathepsin cysteine

protease inhibitors)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

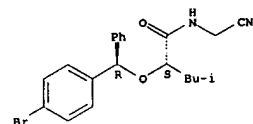
RN 672328-08-4 CAPLUS

CN Pentanamide,

2-[(R)-(4-bromophenyl)phenylmethoxy]-N-(cyanomethyl)-4-methyl-

(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

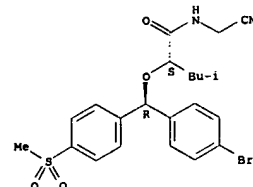


RN 672328-15-3 CAPLUS

CN Pentanamide, 2-[(R)-(4-bromophenyl)[4-(methylsulfonyl)phenyl]methoxy]-N-

(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

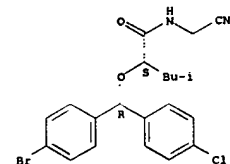


RN 672328-19-7 CAPLUS

CN Pentanamide, 2-[(R)-(4-bromophenyl)(4-chlorophenyl)methoxy]-N-

(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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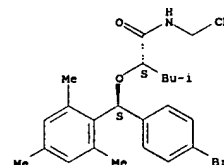
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 672328-22-2 CAPLUS

CN Pentanamide, 2-[(S)-(4-bromophenyl)(2,4,6-trimethylphenyl)methoxy]-N-

(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

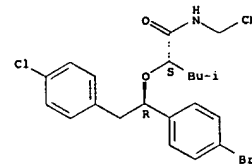


RN 672328-25-5 CAPLUS

CN Pentanamide, 2-[(1R)-1-(4-bromophenyl)-2-(4-chlorophenyl)ethoxy]-N-

(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

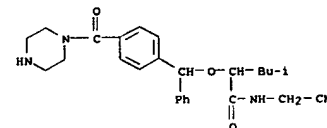
Absolute stereochemistry.



RN 672328-52-8 CAPLUS

CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(1-

piperazinylcarbonyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



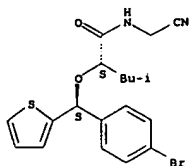
RN 672328-55-1 CAPLUS

CN Pentanamide, 2-[(S)-(4-bromophenyl)-2-thienylmethoxy]-N-(cyanomethyl)-4-

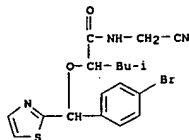
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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

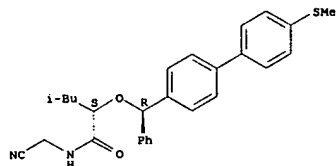


RN 672328-63-1 CAPLUS
CN Pentanamide, 2-[(4-bromophenyl)-2-thiazolylmethoxy]-N-(cyanomethyl)-4-methyl-, (9CI) (CA INDEX NAME)

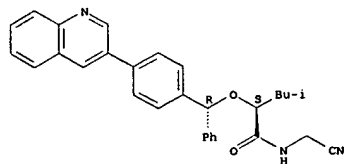


RN 672328-69-7 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4'-(methylthio)[1,1'-biphenyl]-4-yl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

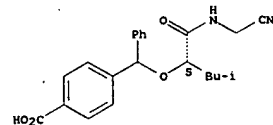


L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



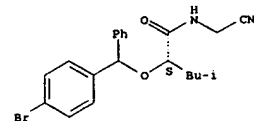
RN 672328-93-7 CAPLUS
CN Benzoic acid, 4-[[[(1S)-1-[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-94-8 CAPLUS
CN Pentanamide, 2-[(4-bromophenyl)phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

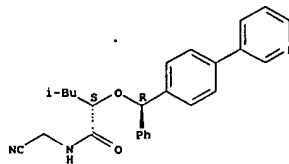


RN 672328-98-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4-(4-methylthio)benzoyl]phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

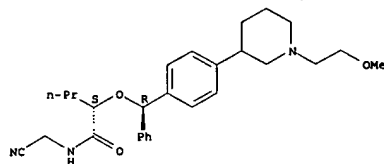
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 672328-81-3 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-phenyl[4-(3-pyridinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-86-8 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(R)-[4-[1-(2-methoxyethyl)-3-piperidinyl]phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

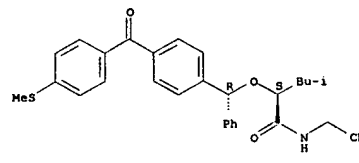


RN 672328-87-9 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-phenyl[4-(3-quinolinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

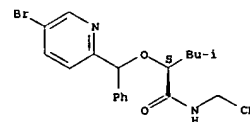


L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



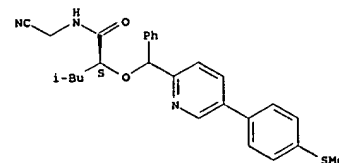
RN 672329-00-9 CAPLUS
CN Pentanamide, 2-[(5-bromo-2-pyridinyl)phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672329-02-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(5-[4-(methylthio)phenyl]-2-pyridinyl)phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



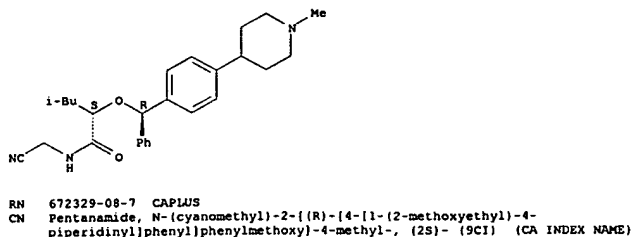
RN 672329-07-6 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4-(1-methyl-4-piperidinyl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

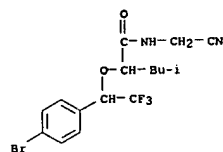
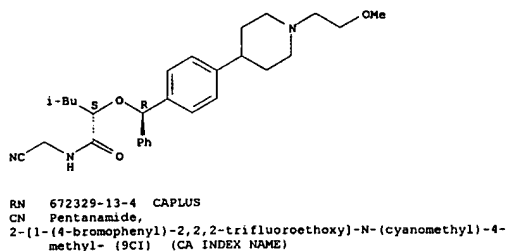
Karen Cheng

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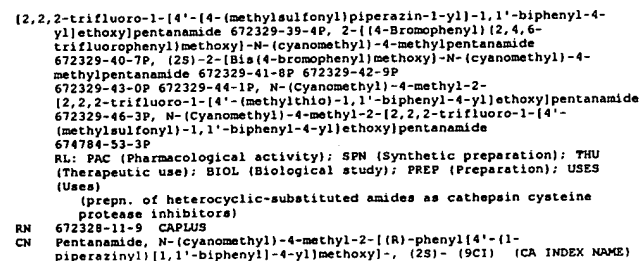
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



Absolute stereochemistry.

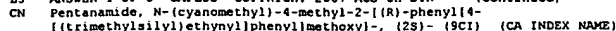


L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

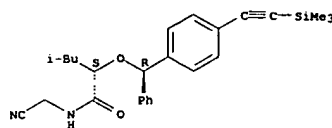


Absolute stereochemistry.

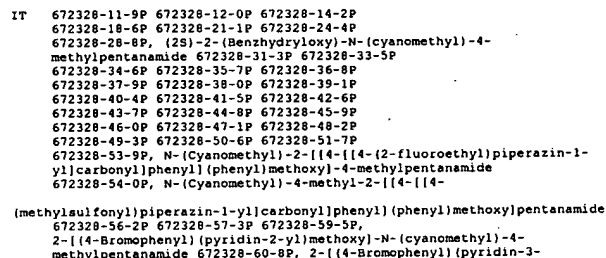
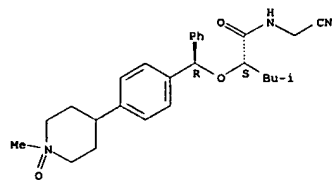
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



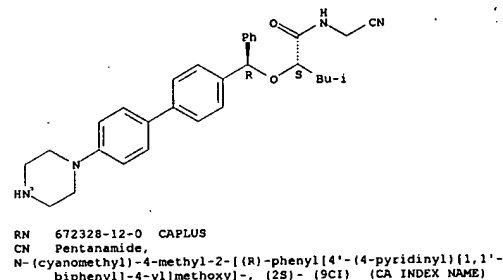
Absolute stereochemistry.



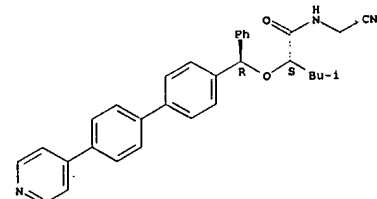
Absolute stereochemistry.



L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



Absolute stereochemistry.

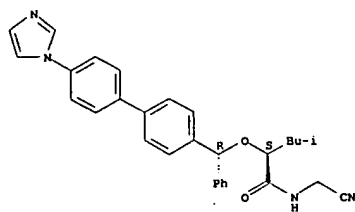


Absolute stereochemistry.

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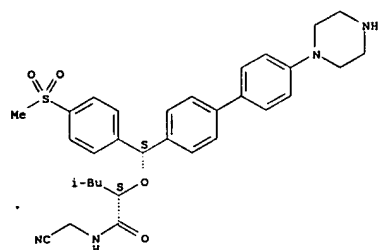
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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672328-18-6 CAPLUS
 CN Pentanamide,
 N-(cyanomethyl)-4-methyl-2-[(S)-[4-(methylsulfonyl)phenyl][4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

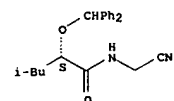
Absolute stereochemistry.



RN 672328-21-1 CAPLUS
 CN Pentanamide, 2-[(S)-(4-chlorophenyl)[4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl]methoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

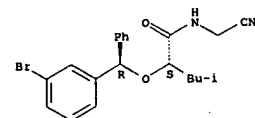
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



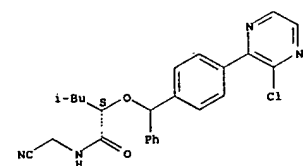
RN 672328-31-3 CAPLUS
 CN Pentanamide,
 2-[(R)-(3-bromophenyl)phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-33-5 CAPLUS
 CN Pentanamide, 2-[[4-(3-chloropyrazinyl)phenyl]phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

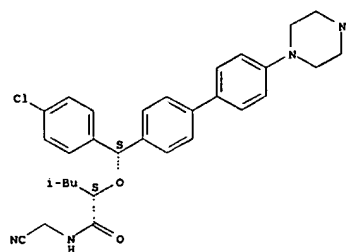
Absolute stereochemistry.



RN 672328-34-6 CAPLUS
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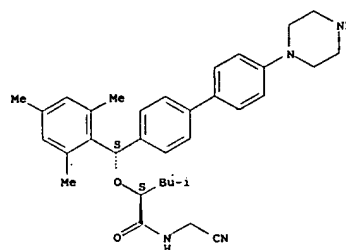
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672328-24-4 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(S)-[4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl][2,4,6-trimethylphenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

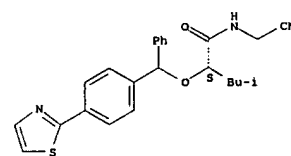
Absolute stereochemistry.



RN 672328-28-8 CAPLUS
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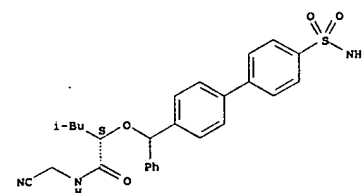
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



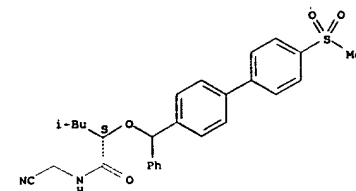
RN 672328-35-7 CAPLUS
 CN Pentanamide, 2-[[4'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-36-8 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



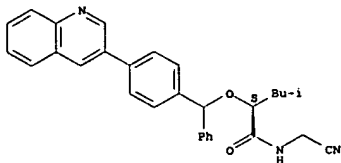
RN 672328-37-9 CAPLUS

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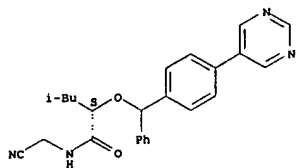
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(3-quinolinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-38-0 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(5-pyrimidinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

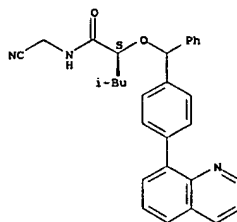
Absolute stereochemistry.



RN 672328-39-1 CAPLUS
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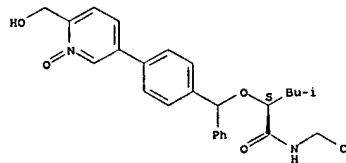
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672328-40-4 CAPLUS
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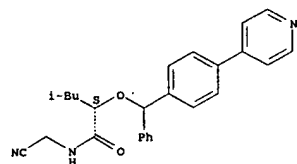
Absolute stereochemistry.



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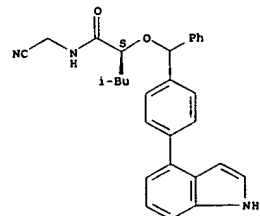
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



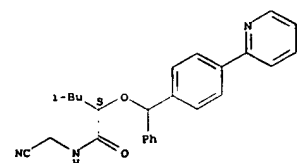
RN 672328-42-6 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-2-[[4-(1H-indol-4-yl)phenyl]phenyl]methoxy]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-43-7 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(2-pyridinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

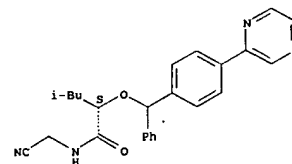
Absolute stereochemistry.



L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

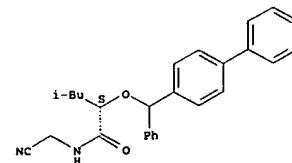
RN 672328-44-8 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(pyrazinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-45-9 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(3-pyridinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



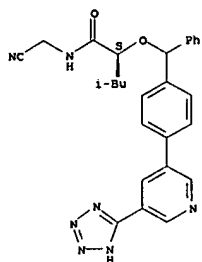
RN 672328-46-0 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(5-(1H-tetrazol-5-yl)-3-pyridinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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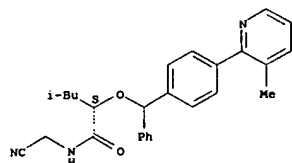
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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672328-47-1 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4-(3-methyl-2-pyridinyl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

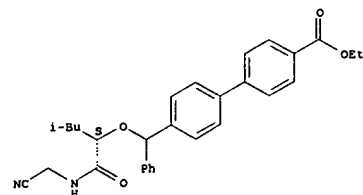
Absolute stereochemistry.



RN 672328-48-2 CAPLUS
 CN 4-Pyridinecarboxylic acid, 2-[4-[[[(1S)-1-[[[cyanomethyl]amino]carbonyl]-3-methylbutoxy]phenylmethyl]phenyl]- (9CI) (CA INDEX NAME)

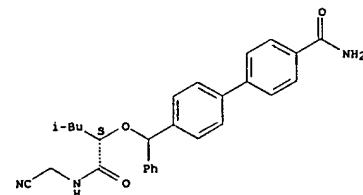
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

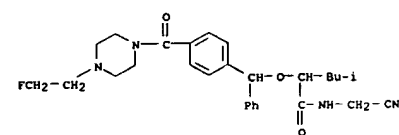


RN 672328-51-7 CAPLUS
 CN [1,1'-Biphenyl]-4-carboxamide, 4'-[[[(1S)-1-[[[cyanomethyl]amino]carbonyl]-3-methylbutoxy]phenylmethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



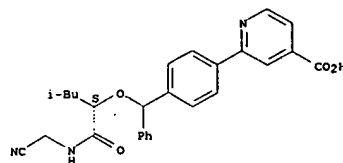
RN 672328-53-9 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-2-[[4-[[4-(2-fluoroethyl)-1-piperazinyl]carbonyl]phenyl]phenylmethoxy]-4-methyl- (9CI) (CA INDEX NAME)



RN 672328-54-0 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4-[[4-(methylsulfonyl)-1-

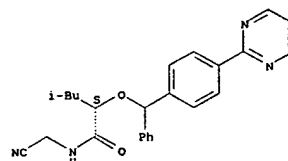
Karen Cheng

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672328-49-3 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[phenyl(4-(2-pyrimidinyl)phenyl)methoxy]-, (2S)- (9CI) (CA INDEX NAME)

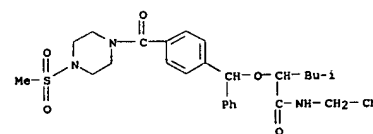
Absolute stereochemistry.



RN 672328-50-6 CAPLUS
 CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[[[(1S)-1-[[[cyanomethyl]amino]carbonyl]-3-methylbutoxy]phenylmethyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

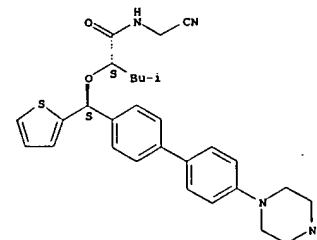
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



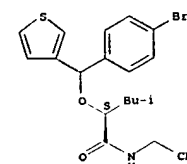
RN 672328-56-2 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[8-[[4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl]-2-thienylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-57-3 CAPLUS
 CN Pentanamide, 2-[[4-bromophenyl]-3-thienylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

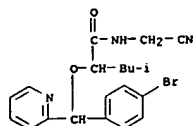
Absolute stereochemistry.



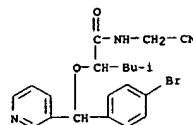
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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

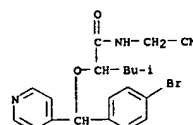
RN 672328-59-5 CAPLUS
 CN Pentanamide, 2-[(4-bromophenyl)-2-pyridinylmethoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 672328-60-8 CAPLUS
 CN Pentanamide, 2-[(4-bromophenyl)-3-pyridinylmethoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)

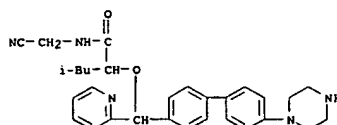


RN 672328-61-9 CAPLUS
 CN Pentanamide, 2-[(4-bromophenyl)-4-pyridinylmethoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)

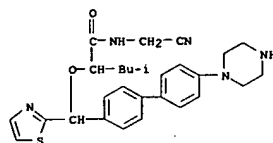


RN 672328-62-0 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl]-2-pyridinylmethoxy]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

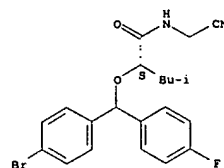


RN 672328-64-2 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl]-2-thiazolylmethoxy]- (9CI) (CA INDEX NAME)



RN 672328-65-3 CAPLUS
 CN Pentanamide, 2-[(4-bromophenyl)(4-fluorophenyl)methoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

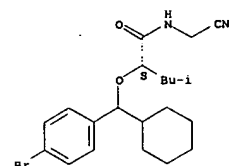
Absolute stereochemistry.



RN 672328-66-4 CAPLUS
 CN Pentanamide, 2-[(4-bromophenyl)cyclohexylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

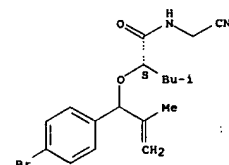
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



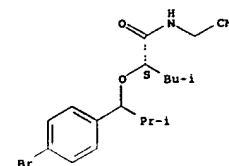
RN 672328-67-5 CAPLUS
 CN Pentanamide, 2-[[1-(4-bromophenyl)-2-methyl-2-propenyl]oxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-68-6 CAPLUS
 CN Pentanamide, 2-[[1-(4-bromophenyl)-2-methylpropoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

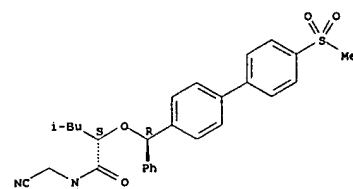
Absolute stereochemistry.



RN 672328-70-0 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

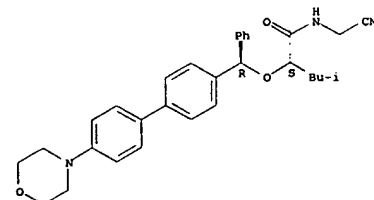
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



RN 672328-71-1 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4'-(4-morpholinyl)[1,1'-biphenyl]-4-yl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



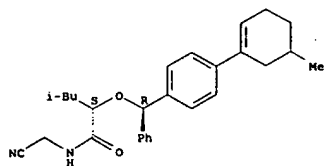
RN 672328-73-3 CAPLUS
 CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4'-(5-methyl-1-cyclohexen-1-yl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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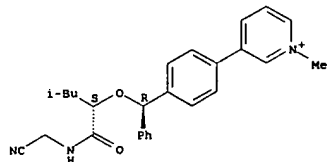
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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



RN 672328-78-8 CAPLUS
CN Pyridinium, 3-[4-[(R)-1-[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]phenylmethyl]phenyl-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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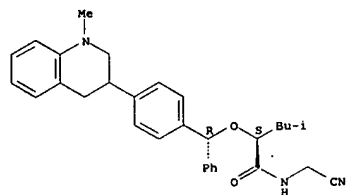
RN 672328-80-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4-(1-oxido-3-pyridinyl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

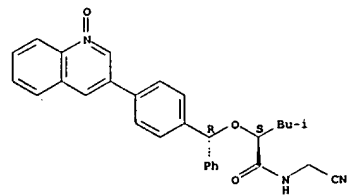
RN 672328-89-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-phenyl[4-(1,2,3,4-tetrahydro-1-methyl-3-quinolinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-92-6 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4-(1-oxido-3-quinolinyl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

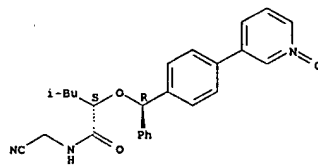
Absolute stereochemistry.



RN 672328-95-9 CAPLUS
CN Benzamide, 4-[(1S)-1-[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]phenylmethyl-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

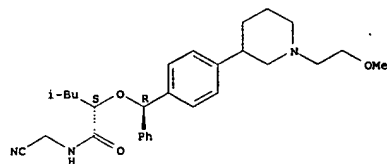
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



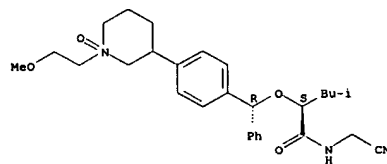
RN 672328-82-4 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(R)-[4-(1-(2-methoxyethyl)-3-piperidinyl)phenyl]phenylmethoxy]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

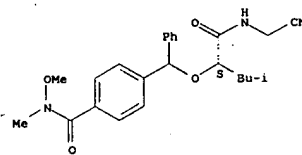


RN 672328-85-7 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(R)-[4-(1-(2-methoxyethyl)-1-oxido-3-piperidinyl)phenyl]phenylmethoxy]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

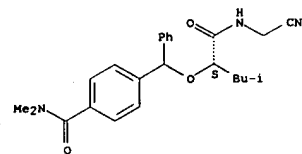


L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



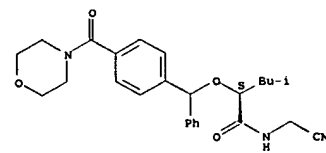
RN 672328-96-0 CAPLUS
CN Benzamide, 4-[(1S)-1-[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]phenylmethyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-97-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(4-(4-morpholinyl)carbonyl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



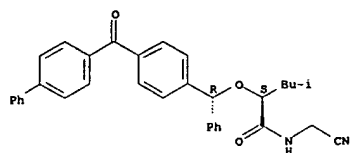
RN 672328-99-3 CAPLUS
CN Pentanamide, 2-[(R)-[4-[(1,1'-biphenyl)-4-ylcarbonyl]phenyl]phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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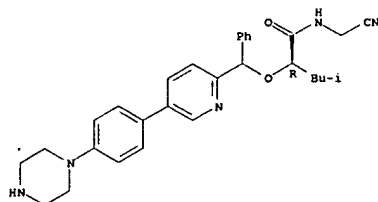
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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672329-01-0 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[phenyl[5-[4-(1-piperazinyl)phenyl]-2-pyridinyl]methoxy]-, (2R)- (9CI) (CA INDEX NAME)

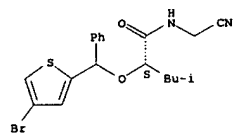
Absolute stereochemistry.



RN 672329-03-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[5-[4-(methylsulfonyl)phenyl]-2-pyridinyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

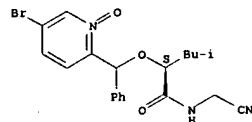
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



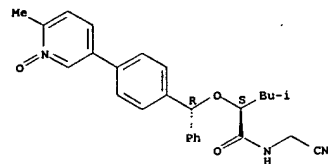
RN 672329-06-5 CAPLUS
CN Pentanamide, 2-[[5-bromo-1-oxido-2-pyridinyl]phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672329-10-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4-(6-methyl-1-oxido-3-pyridinyl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

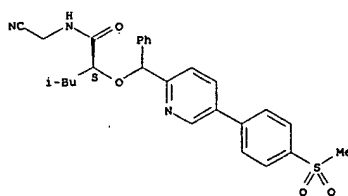
Absolute stereochemistry.



RN 672329-12-3 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4-(1-oxido-4-pyridinyl)phenyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

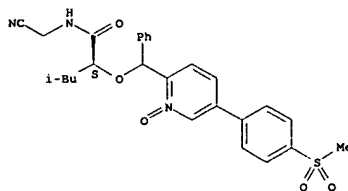
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672329-04-3 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[5-[4-(methylsulfonyl)phenyl]-1-oxido-2-pyridinyl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

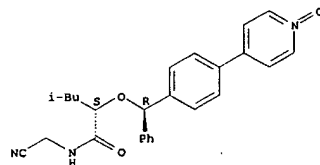
Absolute stereochemistry.



RN 672329-05-4 CAPLUS
CN Pentanamide, 2-[[4-bromo-2-thienyl]phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

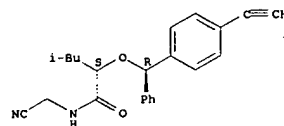
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



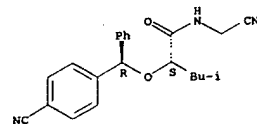
RN 672329-15-6 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(R)-[4-(ethynyl)phenyl]phenylmethoxy]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672329-16-7 CAPLUS
CN Pentanamide, 2-[(R)-[4-(cyanophenyl)phenylmethoxy]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672329-19-0 CAPLUS
CN Pentanamide, 2-[(R)-[4-bromophenyl]cyclopropylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

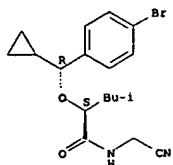
Absolute stereochemistry.

Karen Cheng

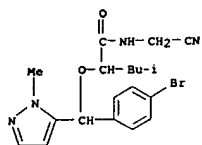
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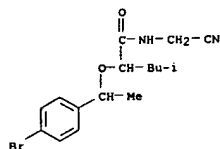
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672329-20-3 CAPLUS
CN Pentanamide, 2-[(4-bromophenyl)(1-methyl-1H-pyrazol-5-yl)methoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)

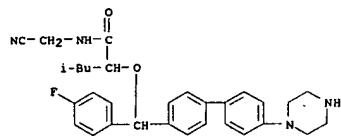


RN 672329-21-4 CAPLUS
CN Pentanamide, 2-[1-(4-bromophenyl)ethoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)

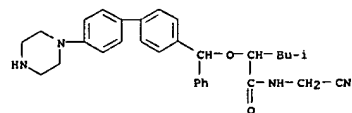


RN 672329-22-5 CAPLUS
CN Pentanamide, 2-[1-(4-bromophenyl)propoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

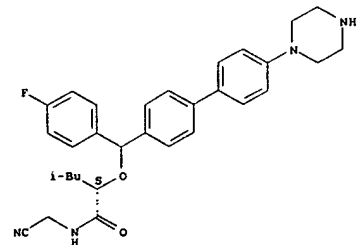


RN 672329-28-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4'-(1-piperazinyl)][1,1'-biphenyl]-4-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 672329-29-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(4-fluorophenyl)[4'-(1-piperazinyl)][1,1'-biphenyl]-4-yl]methoxy]- (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

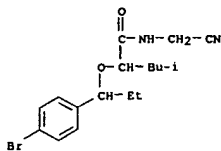


RN 672329-30-5 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4'-(1-piperazinyl)][1,1'-biphenyl]-4-yl]methoxy]- (2S)- (9CI) (CA INDEX NAME)

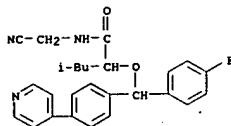
Absolute stereochemistry.

Karen Cheng

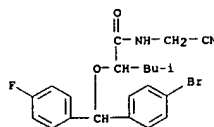
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672329-23-6 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(4-fluorophenyl)[4-(4-pyridinyl)phenyl]methoxy]-4-methyl- (9CI) (CA INDEX NAME)

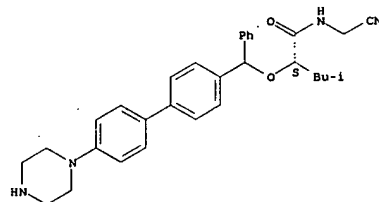


RN 672329-24-7 CAPLUS
CN Pentanamide, 2-[(4-bromophenyl)(4-fluorophenyl)methoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)



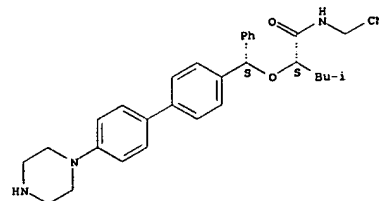
RN 672329-26-9 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(4-fluorophenyl)[4'-(1-piperazinyl)][1,1'-biphenyl]-4-yl]methoxy]-4-methyl- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

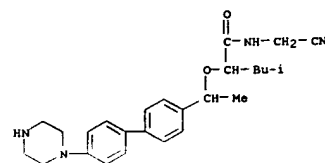


RN 672329-31-6 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(S)-phenyl[4'-(1-piperazinyl)][1,1'-biphenyl]-4-yl]methoxy]- (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



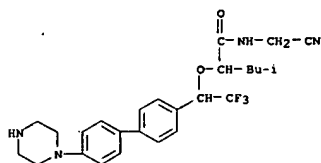
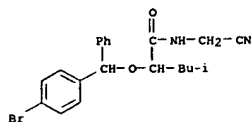
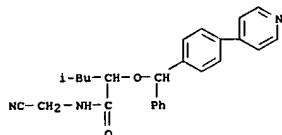
RN 672329-32-7 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[1-[4'-(1-piperazinyl)][1,1'-biphenyl]-4-yl]ethoxy]- (9CI) (CA INDEX NAME)



RN 672329-33-8 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[2,2,2-trifluoro-1-[4'-(1-

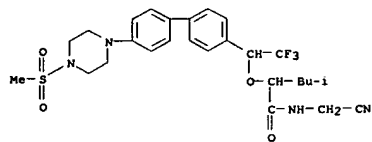
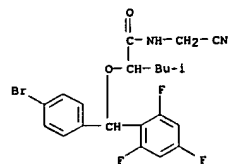
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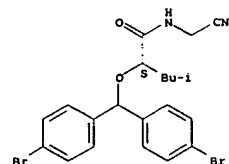
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
piperazinyl][1,1'-biphenyl]-4-yl]ethoxy]- (9CI) (CA INDEX NAME)RN 672329-34-9 CAPLUS
CN Pentanamide, 2-[(4-bromophenyl)phenylmethoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)RN 672329-35-0 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(4-pyridinyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)RN 672329-36-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4'-(4-methylsulfonyl)-1-piperazinyl][1,1'-biphenyl]-4-yl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 672329-39-4 CAPLUS
CN Pentanamide, 2-[(4-bromophenyl)(2,4,6-trifluorophenyl)methoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)RN 672329-40-7 CAPLUS
CN Pentanamide, 2-[bis(4-bromophenyl)methoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

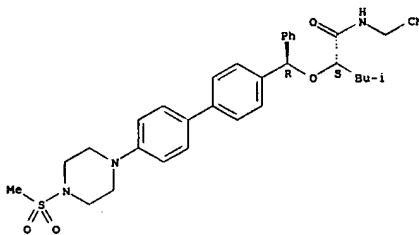
Absolute stereochemistry.

RN 672329-41-8 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-phenyl[4-(4-pyridinyl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

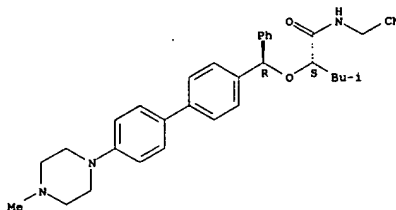
Absolute stereochemistry.

Karen Cheng

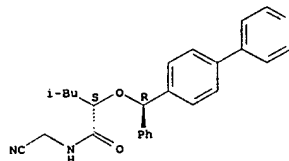
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 672329-37-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-[4'-(4-methyl-1-piperazinyl)[1,1'-biphenyl]-4-yl]phenylmethoxy]-, (2S)- (9CI) (CA INDEX NAME)

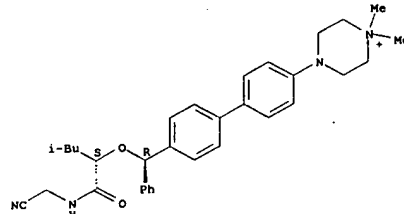
Absolute stereochemistry.

RN 672329-38-3 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[2,2,2-trifluoro-1-[4'-(4-methylsulfonyl)-1-piperazinyl][1,1'-biphenyl]-4-yl]ethoxy]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 672329-42-9 CAPLUS
CN Piperazinium, 4-[4'-[(R)-[(1S)-1-[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]phenylmethyl][1,1'-biphenyl]-4-yl]-1,1-dimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

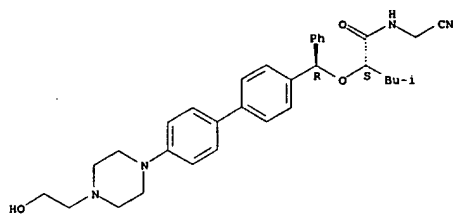
● I⁻RN 672329-43-0 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(R)-[4'-(4-(2-hydroxyethyl)-1-piperazinyl)[1,1'-biphenyl]-4-yl]phenylmethoxy]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

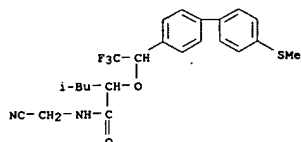
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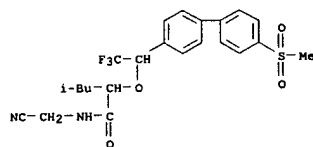
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 672329-44-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(2,2,2-trifluoro-1-(4'-(methylthio)[1,1'-biphenyl]-4-yl)ethoxy]- (9CI) (CA INDEX NAME)

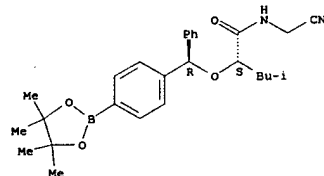


RN 672329-46-3 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(2,2,2-trifluoro-1-(4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl)ethoxy]- (9CI) (CA INDEX NAME)



RN 674784-53-3 CAPLUS
CN Pentanamide, N-(cyanomethyl)-2-[(R)-[4-[1-(2-methoxyethyl)-1-oxido-4-piperidinyl]phenyl]phenylmethoxy]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

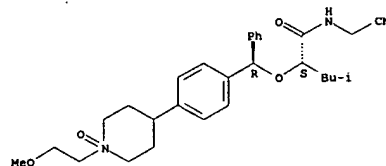


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

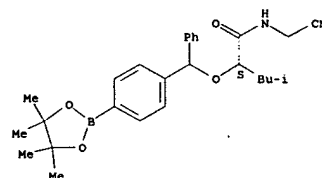
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



IT 672328-72-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclic-substituted amides as cathepsin cysteine protease inhibitors)
RN 672328-72-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(phenyl[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 672328-13-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic-substituted amides as cathepsin cysteine protease inhibitors)
RN 672328-13-1 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(R)-phenyl[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]methoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:964345 CAPLUS
DOCUMENT NUMBER: 138:24952
TITLE: Preparation of novel amino nitriles useful as reversible inhibitors of cysteine proteases
INVENTOR(S): Hickey, Eugene R.; Bekkali, Younes; Patel, Usha R.; Spero, Denise M.; Thomson, David S.; Young, Erick R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100849	A2	20021219	WO 2002-US17590	20020605
WO 2002100849	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003119827	A1	20030626	US 2002-163015	20020604
US 6982263	B2	20060103		
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JP 2005501017	T	20050113	JP 2003-503617	20020605
PRIORITY APPLN. INFO.:				US 2001-296863P P 20010608
				WO 2002-US17590 W 20020605

OTHER SOURCE(S): MARPAT 138:24952
AB Novel nitrile compds. YCO2CR2R3C(X)NR6CR4R5CN [Y = R1, R10, R15, R12N, R13C, where R1 = H, (un)substituted (cyclo)alkyl, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, alkylsulfonylalkyl, cycloalkylsulfonylalkyl, arylsulfonylalkyl, heterocyclyl, or heteroaryl; R2-R5 = H, (un)substituted (cyclo)alkyl, aryl, etc. or CR2R3 and CR4R5 may form rings; R6 = H, OH, or (cyclo)alkyl; X = O or S (with provisos)] or their pharmaceutically-acceptable derivs. were prepared as reversible inhibitors of cysteine proteases such as cathepsin K, S, F, L and B for treating diseases and pathol. conditions exacerbated by these proteases such as osteoporosis, rheumatoid arthritis, multiple sclerosis, asthma and other autoimmune diseases, Alzheimer's disease, and atherosclerosis. Thus, morpholine-4-carboxylic acid 1-[(benzyloxymethyl)cyanomethyl]carbonyl-3-methylbutyl ester was prepared from N-(tert-butoxycarbonyl)-O-benzyl-L-serine, 2-Hydroxyisocaproic acid, and 4-morpholinecarbonyl chloride.

Karen Cheng

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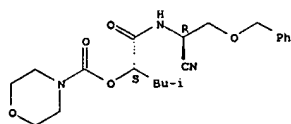
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 478279-49-1P 478280-54-8P 478280-11-4P
 478280-12-5P 478280-13-6P 478280-22-7P
 478280-23-8P 478280-24-9P 478280-30-7P
 478280-34-1P 478280-36-3P 478280-37-4P
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(preparation of novel amino nitriles as reversible inhibitors of cysteine proteases)

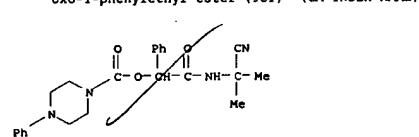
RN 478279-49-1 CAPLUS
 CN 4-Morpholinecarboxylic acid, (1S)-1-[[[1R)-1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

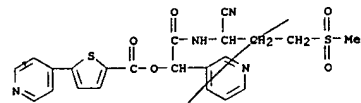


RN 478279-54-8 CAPLUS
 CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

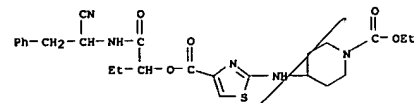
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



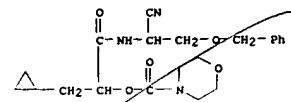
RN 478280-23-8 CAPLUS
 CN 2-Thiophenecarboxylic acid, 5-(4-pyridinyl)-, 2-[[1-cyano-3-(methylsulfonyl)propyl]amino]-2-oxo-1-(3-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)



RN 478280-24-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[4-[[[1-cyano-2-phenylethyl]amino]carbonyl]propoxy]carbonyl]-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



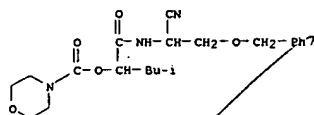
RN 478280-30-7 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(cyclopropylmethyl)-2-oxoethyl] ester (9CI) (CA INDEX NAME)



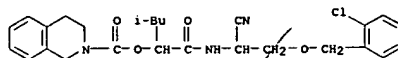
RN 478280-34-1 CAPLUS
 CN 2-Naphthalenecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

Karen Cheng

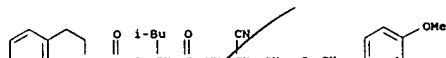
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



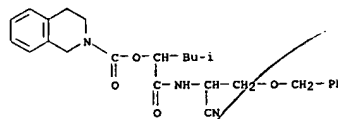
RN 478280-11-4 CAPLUS
 CN 2-[[1H]-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[2-[[2-chlorophenyl]methoxy]-1-cyanoethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



RN 478280-12-5 CAPLUS
 CN 2-[[1H]-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[2-[[4-methoxyphenyl]methoxy]ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

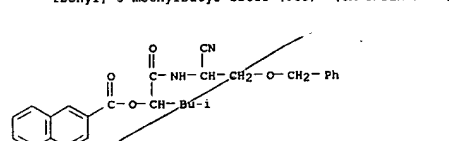


RN 478280-13-6 CAPLUS
 CN 2-[[1H]-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[2-[[4-methoxyphenyl]methoxy]ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

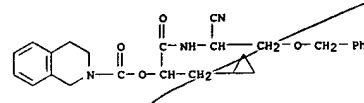


RN 478280-22-7 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-phenyl-, 2-[[1-cyano-1-methylethyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

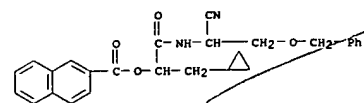
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



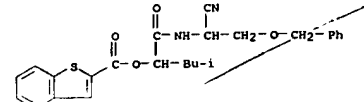
RN 478280-36-3 CAPLUS
 CN 2-[[1H]-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(cyclopropylmethyl)-2-oxoethyl] ester (9CI) (CA INDEX NAME)



RN 478280-37-4 CAPLUS
 CN 2-Naphthalenecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



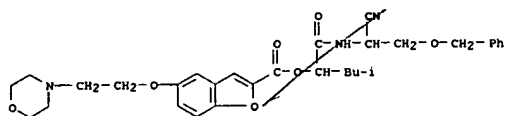
RN 478280-52-3 CAPLUS
 CN Benzo[b]thiophene-2-carboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



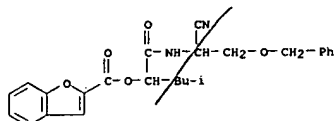
RN 478280-53-4 CAPLUS
 CN 2-Benzofuranecarboxylic acid, 5-[2-(4-morpholinyl)ethoxy]-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

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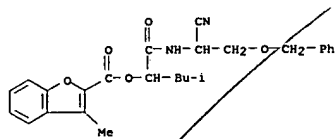
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



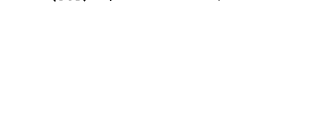
RN 478280-54-5 CAPLUS
 2-Benzofurancarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



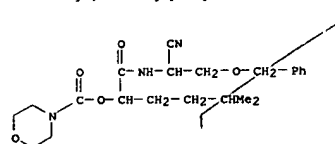
RN 478280-55-6 CAPLUS
 2-Benzofurancarboxylic acid, 3-methyl-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



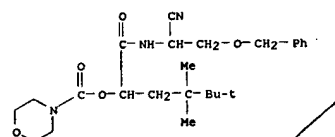
RN 478280-56-7 CAPLUS
 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[2-[(3-carboxyphenyl)methoxy]-1-cyanoethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



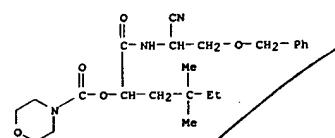
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RN 478281-03-7 CAPLUS
 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-4-methylpentyl ester (9CI) (CA INDEX NAME)



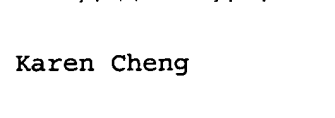
RN 478281-06-0 CAPLUS
 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-3,3,4,4-tetramethylpentyl ester (9CI) (CA INDEX NAME)



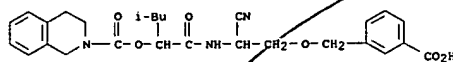
RN 478281-09-3 CAPLUS
 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-3,3-dimethylpentyl ester (9CI) (CA INDEX NAME)



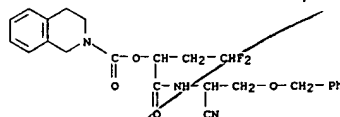
RN 478281-12-8 CAPLUS
 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-3,3,4-trimethylpentyl ester (9CI) (CA INDEX NAME)



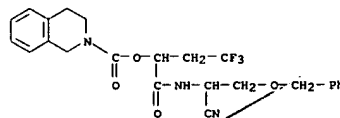
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



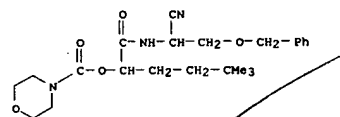
RN 478280-57-8 CAPLUS
 CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3-difluoropropyl ester (9CI) (CA INDEX NAME)



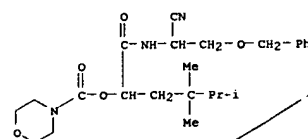
RN 478280-58-9 CAPLUS
 CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3,3-trifluoropropyl ester (9CI) (CA INDEX NAME)



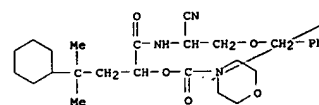
RN 478281-00-4 CAPLUS
 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-4,4-dimethylpentyl ester (9CI) (CA INDEX NAME)



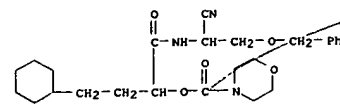
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



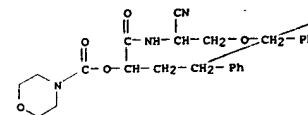
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 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-3-cyclohexyl-3-methylbutyl ester (9CI) (CA INDEX NAME)



RN 478281-18-4 CAPLUS
 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

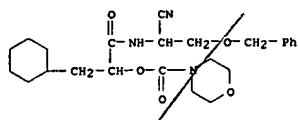


RN 478281-21-9 CAPLUS
 CN 4-Morpholinecarboxylic acid,
 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car
 bonyl]-3-phenylpropyl ester (9CI) (CA INDEX NAME)

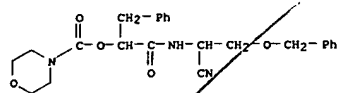


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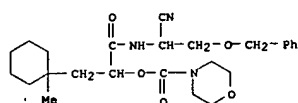
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RN 478281-25-3 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-28-6 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

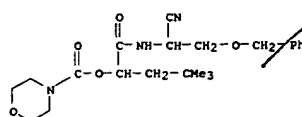


RN 478281-31-1 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(1-methylcyclohexyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

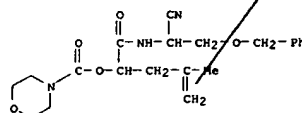


RN 478281-34-4 CAPLUS
 CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3-dimethylbutyl ester (9CI) (CA INDEX NAME)

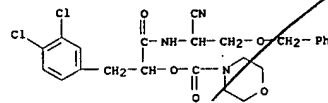
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



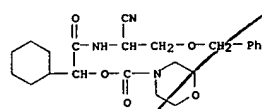
RN 478281-37-7 CAPLUS
 CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)



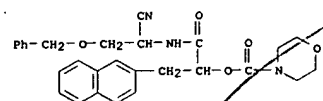
RN 478281-40-2 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(3,4-dichlorophenyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



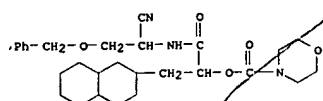
RN 478281-43-5 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-cyclohexyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



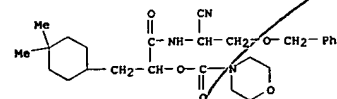
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 RN 478281-46-8 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



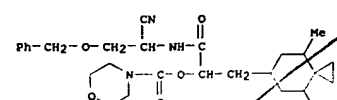
RN 478281-49-1 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(decahydro-2-naphthalenyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-52-6 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(4,4-dimethylcyclohexyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

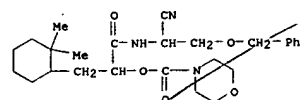


RN 478281-55-9 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(4,8-dimethylspiro[2.5]oct-6-yl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

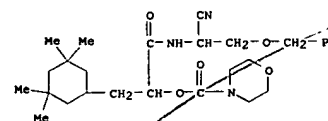


L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

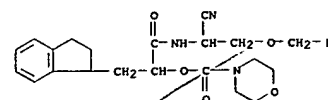
RN 478281-58-2 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(2,2-dimethylcyclohexyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-61-7 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-2-oxo-1-[(3,3,5,5-tetramethylcyclohexyl)methyl]ethyl ester (9CI) (CA INDEX NAME)



RN 478281-64-0 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(2,3-dihydro-1H-inden-1-yl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



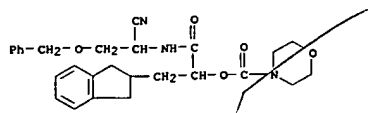
RN 478281-67-3 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(2,3-dihydro-1H-inden-2-yl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



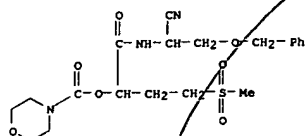
Karen Cheng

10525264

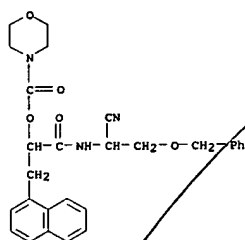
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 478281-70-8 CAPLUS
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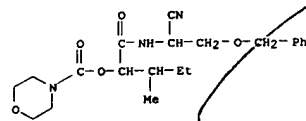


RN 478281-73-1 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(1-naphthalenylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

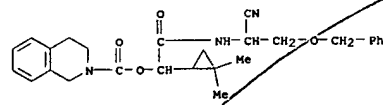


RN 478281-76-4 CAPLUS
CN 4-Morpholinecarboxylic acid,
1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-2-methylbutyl ester (9CI) (CA INDEX NAME)

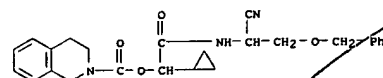
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



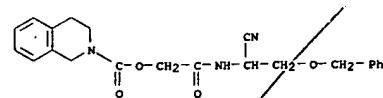
RN 478281-88-8 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(2,2-dimethylcyclopropyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



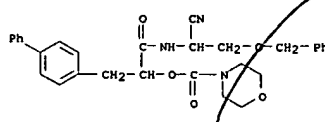
RN 478281-89-9 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-cyclopropyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



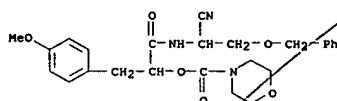
RN 478281-90-2 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-methyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



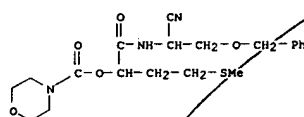
RN 478281-91-3 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-methyl-2-oxoethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(phenylmethoxy)ethyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

RN 478281-79-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[[4-methoxyphenyl]methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

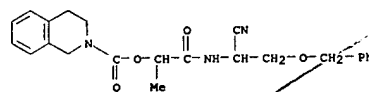


RN 478281-82-2 CAPLUS
CN 4-Morpholinecarboxylic acid,
1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-(methylthio)propyl ester (9CI) (CA INDEX NAME)

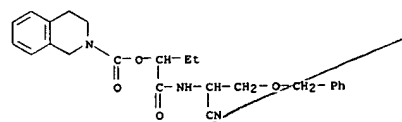


RN 478281-85-5 CAPLUS
CN 4-Morpholinecarboxylic acid,
1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-2-methylbutyl ester (9CI) (CA INDEX NAME)

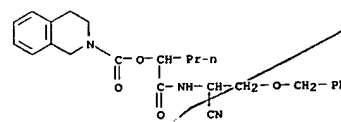
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 478281-92-4 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]butyl ester (9CI) (CA INDEX NAME)



RN 478281-93-5 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]butyl ester (9CI) (CA INDEX NAME)



Karen Cheng

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L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2002:946262 CAPLUS

DOCUMENT NUMBER: 138:24946

TITLE: Preparation of amide compounds and compositions as selective cathepsin S inhibitors
 INVENTOR(S): Graupe, Michael; Li, Jiayao; Link, John O.; Zipfel, Sheila; Timm, Andreas P.; Aldous, David J.; Thuraiaratnam, Sukanthini

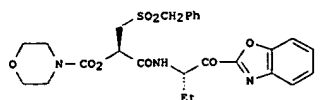
PATENT ASSIGNEE(S): Akys Pharmaceuticals, Inc., USA; Aventis Pharmaceuticals Inc.
 SOURCE: PCT Int. Appl., 196 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098850	A2	20021212	WO 2002-US17411	20020603
WO 2002098850	A3	20030424		
W:	AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2448418	A1	20021212	CA 2002-2448418	20020603
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BR 2002010912	A	20040831	BR 2002-10912	20020603
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ZA 2003008392	A	20050128	ZA 2003-8392	20031028
US 2004142999	A1	20040722	US 2003-719080	20031121
IN 2003CN01887	A	20060106	IN 2003-CN1887	20031201
PRIORITY APPLN. INFO.:			US 2001-295301P	P 20010601
			WO 2002-US17411	W 20020603

OTHER SOURCE(S): HARPAT 138:24946
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L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



AB The invention relates to compds. R3C(X2)(X7)CO-X1 [X1 = NHC(R1)(R2)X3 or NHX4; X2 = H, F, OH, OR4, NHR15, or NR17R18; X7 = H or X2 = X7 = F; R3 = alkyl or CR6X6; X3 = cyano, CR7R8R16, CR6(OR6)2, CH2COR16, CH:CHSO2R5, COCF2CONR52, COCONR5R6, COCO2R5, COCH2OR5, COCH2NR6SO2R5, or COCOR5;

where R5 is H or (un)substituted alkyl; R6 is H, OH or NR5R6 is a ring; R7 is H,

alkyl and R8 is OH or CR7R8 are oxo; R16 is H, X4, CF3, NR6OR6, etc.; X4 comprises a heteromono- or -bicyclic ring; R1 = H, alkyl; R2 = H, cyano; R2 = H, cyano, -X5-NR122, -X5-NR12COR12, etc., where X5 is a bond or alkylene and R12 is H, alkyl, or haloalkyl; or CR1R2 may form a ring; R4

= alkylene-NR122, alkylene-NR12-COR12, etc.; X6 = -X5-NR122, -X5-NR12COR12, etc.; R15 = H, alkyl; R17, R18 = (un)substituted alkyl (with provisos) and their pharmaceutically acceptable salts and N-oxides as selective cathepsin S inhibitors for use as therapeutic agents. Thus, ester I was prepared via amide coupling reaction and showed Ki ltorsim. 0.01 μM for inhibition of cathepsin S.

IT 477938-42-4P 477938-46-8P 477938-71-9P

477938-85-5P 477938-86-6P 477938-87-7P

477938-88-8P 477938-92-4P 477938-94-6P

477938-96-8P 477938-97-9P 477938-98-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

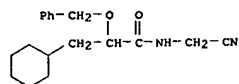
(Uses)

(preparation of amide compds. and compns. as selective cathepsin S

inhibitors)

RN 477938-42-4 CAPLUS

CN Cyclohexanepropanamide, N-(cyanomethyl)-α-(phenylmethoxy)- (9CI) (CA INDEX NAME)

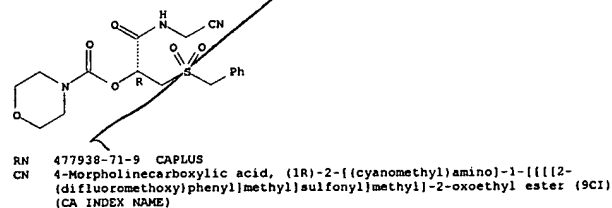


RN 477938-46-8 CAPLUS

CN 4-Morpholinecarboxylic acid, (1R)-2-[(cyanomethyl)amino]-2-oxo-1-[[[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

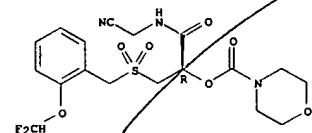
L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

Absolute stereochemistry.



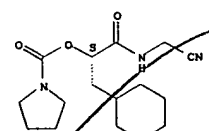
RN 477938-71-9 CAPLUS
 CN 4-Morpholinecarboxylic acid, (1R)-2-[(cyanomethyl)amino]-1-[[[(2-(difluoromethoxy)phenyl)methyl]sulfonyl]methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477938-85-5 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

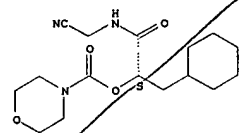
Absolute stereochemistry.



RN 477938-86-6 CAPLUS
 CN 4-Morpholinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

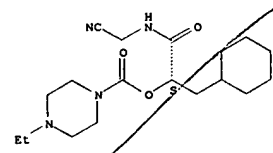
Absolute stereochemistry.

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



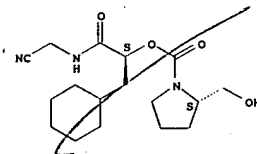
RN 477938-87-7 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-ethyl-, (1S)-2-[(cyanomethyl)amino]-1-[(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477938-88-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-(hydroxymethyl)-, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

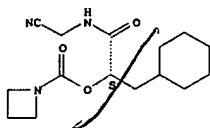


RN 477938-92-4 CAPLUS
 CN 1-Azetidinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

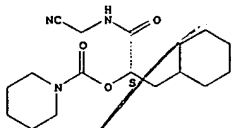
10525264

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



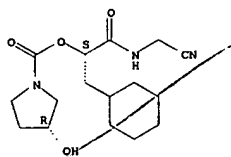
RN 477938-94-6 CAPLUS
CN 1-Piperidinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477938-96-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-hydroxy-, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477938-97-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-hydroxy-, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN

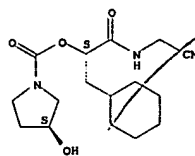
ACCESSION NUMBER: 2002:695723 CAPLUS
DOCUMENT NUMBER: 137:232908
TITLE: Preparation of N-cyanomethyl amides as cathepsin cysteine protease inhibitors
INVENTOR(S): Prasit, Petpiboon; Bayly, Christopher Ian; Robichaud, Joel Stephane; Black, W. Cameron; Setti, Eduardo L.; Rydzewski, Robert M.; Palmer, James T.
PATENT ASSIGNEE(S): Merck Frost Canada & Co., Can.; PE Corporation (NY); AXYS Pharm. Inc.
SOURCE: PCT Int. Appl., 173 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069901	A2	20020912	WO 2002-US6533	20020301
WO 2002069901	A3	20031030		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NI, NG, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
CA 2439415	A1	20020912	CA 2002-2439415	20020301
EP 1372655	A2	20040102	EP 2002-723314	20020301
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004531486	T	20041014	JP 2002-569079	20020301
US 2004198982	A1	20041007	US 2003-469430	20030828
US 7012075	B2	20060314	US 2001-272799P	P 20010302
PRIORITY APPLN. INFO.:			WO 2002-US6533	W 20020301

OTHER SOURCE(S): MARPAT 137:232908
AB The invention relates to a novel class of compds. R5-(E)n-D-X-CR3R4CONHCR1R2CN [R1 = H, (halo)alkyl, or (halo)alkenyl or R1R2C is a cycloalkyl ring optionally substituted by alkyl, hydroxyalkyl, or halogen:
R3, R4 = H, alkyl or alkenyl optionally substituted by cycloalkyl or halogen or R3R4C is cycloalkyl, cycloalkenyl or heterocyclyl optionally substituted by alkyl, halo, hydroxyalkyl, hydroxy, alkoxy, or keto; X = NH, NR6, NHSO2, O, CR7R8O, OCR7R8, CR7R8CR7R8O, S, SO2, CR7R8S, SCR7R8, CR7R8SO2, SO2CR7R8, CR7R8, CR7R8NR7, NR7CR7R8, where R6 = alkyl or R6 and R4 form a 4-12 membered heterocyclyl ring system which is optionally substituted and R7, R8 = H or alkyl; D, E = (un)substituted acyl, heteroaryl, cycloalkyl, or heterocyclyl; n = 1-2; R5 = H, alkyl, alkenyl, alkoxy, halo, nitro, cyano, amino, aryl, heteroaryl, cycloalkyl, heterocyclyl, CO2H, OH, alkoxy, SH, sulfonyl groups, etc.] and their pharmaceutically-acceptable salts and N-oxide deriva. are cysteine protease inhibitors and are useful for treating diseases in which inhibition of bone resorption is indicated, such as osteoporosis. Thus,

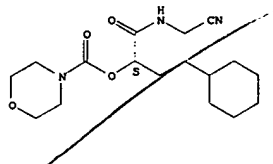
Karen Cheng

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



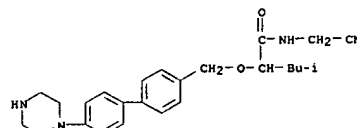
RN 477938-98-0 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-[(cyanomethyl)amino]carbonyl-3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

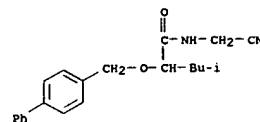


L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

C4H9N2-p-C6H4-p-C6H4-L-Leu-NHCH2CN (C4H9N2 = 1-piperazinyl) was prepd. from L-leucine, 1,4-dibromobenzene, aminoacetonitrile hydrochloride, and 4-[4-(tert-butoxycarbonyl)-1-piperazinyl]phenylboronic acid (prepn. given). The product was used to prep. a pharmaceutical compn.
IT 459160-52-2P 459162-53-9P 459162-77-7P
459162-78-8P 459162-79-9P 459162-82-4P
459162-83-5P
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-cyanomethyl amides as cathepsin cysteine protease inhibitors)
RN 459160-52-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[(4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl)methoxy]- (9CI) (CA INDEX NAME)



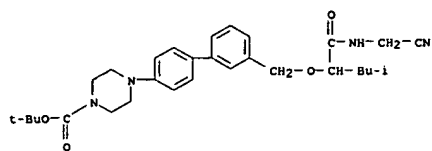
RN 459162-53-9 CAPLUS
CN Pentanamide, 2-[(1,1'-biphenyl)-4-ylmethoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)



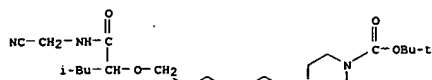
RN 459162-77-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3'-[1-[(cyanomethyl)amino]carbonyl]-3-methylbutoxymethyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10525264

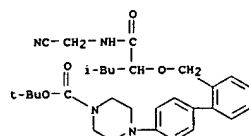
L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



RN 459162-78-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4'-[1-[[[cyanomethylamino]carbonyl]-3-methylbutoxy]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



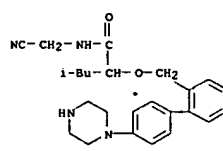
RN 459162-79-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2'-[1-[[[cyanomethylamino]carbonyl]-3-methylbutoxy]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



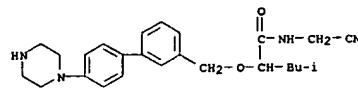
RN 459162-82-4 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(1-piperazinyl)[1,1'-biphenyl]-2-yl]methoxy]- (9CI) (CA INDEX NAME)

claim 13
col 117

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

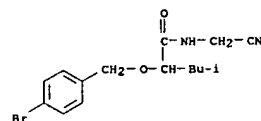


RN 459162-83-5 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(1-piperazinyl)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)



IT 459164-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of N-cyanomethyl amides as cathepsin cysteine protease inhibitors)

RN 459164-65-9 CAPLUS
CN Pentanamide, 2-[[4-(bromophenyl)methoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)



col. 100

col. 107

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 1994:557664 CAPLUS
DOCUMENT NUMBER: 121:157664
TITLE: 3-aryluracil derivatives and the use thereof for weed control
INVENTOR(S): Winternitz, Paul; Zeller, Martin
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

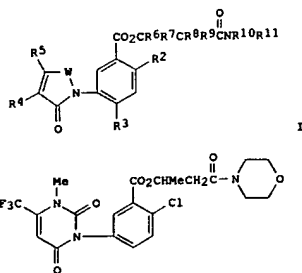
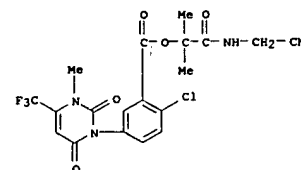
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410155	A1	19940511	WO 1993-EP2802	19931012
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9351509	A	19940524	AU 1993-51509	19931012
EP 618908	A1	19941012	EP 1993-922554	19931012
R: DE, FR, GB				
US 5380701	A	19950110	US 1993-135768	19931012
JP 07502758	T	19950323	JP 1993-510617	19931012
BR 9305683	A	19951203	BR-1993-5683	19931012
PRIORITY APPL. INFO.:			CH 1992-3310	A 19921023
			WO 1993-EP2802	W 19931012

OTHER SOURCE(S): MARPAT 121:157664
GI

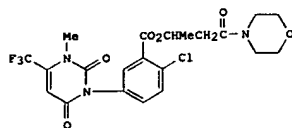
L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

alkyl, etc.; R3 = H, F; R4 = H, halo, alkyl, etc.; R5 = alkyl, haloalkyl, etc.; R6-R9 = H, alkyl, etc.; R10, R11 = H, alkyl, alkenyl, etc.; m =

0-1) were disclosed. An example compd., 1-methyl-3-(4-morpholinyl)-3-oxopropyl 2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1-pyrimidinyl]benzoate (II) was prepd. Comps. I are suitable as active ingredients of herbicidal compns. for weed control.
157307-15-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (Preparation of, as herbicide)
RN 157307-15-8 CAPLUS
CN Benzoic acid, 2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-, 2-[(cyanomethyl)amino]-1,1-dimethyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



I



II

AB The title 3-aryluracils I (W = aminocarbonyl group; R2 = halo, cyano,

Karen Cheng